

10/523,286 YONG CHU 4-21-2006

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FILE 'HOME' ENTERED AT 14:55:36 ON 21 APR 2006

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STRUCTURE FILE UPDATES: 19 APR 2006 HIGHEST RN 881169-11-5
DICTIONARY FILE UPDATES: 19 APR 2006 HIGHEST RN 881169-11-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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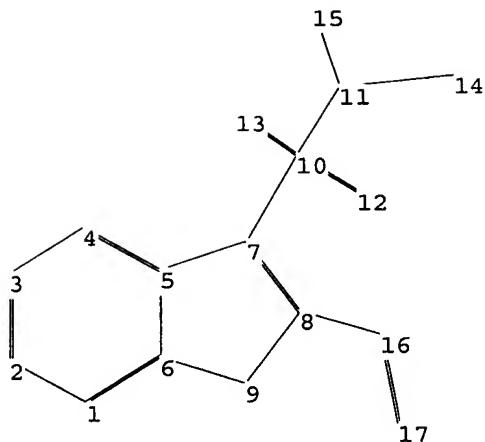
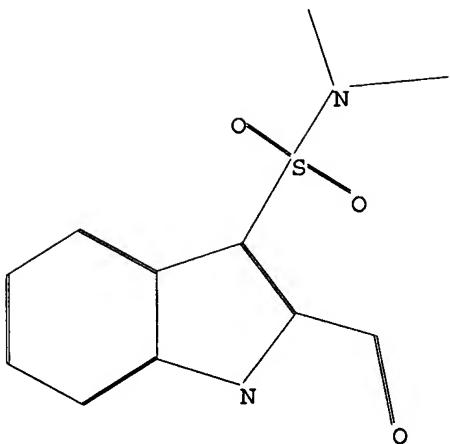
* The CA roles and document type information have been removed from
* the IDE default display format and the ED field has been added,
* effective March 20, 2005. A new display format, IDERL, is now
* available and contains the CA role and document type information.
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

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<http://www.cas.org/ONLINE/UG/regprops.html>

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Uploading C:\Program Files\Stnexp\Queries\10523286\10523286.str



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10 11 12 13 14 15 16 17

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

7-10 8-16 10-11 10-12 10-13 11-14 11-15 16-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-7 5-6 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 7-10 8-9 10-11 10-12 10-13 11-14 11-15 16-17

exact bonds :

8-16

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

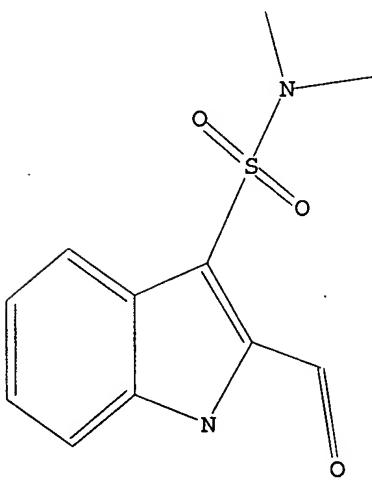
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11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 14:56:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED      3 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS:   3 TO     163
PROJECTED ANSWERS:      0 TO     0
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L2 0 SEA SSS SAM L1

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COST IN U.S. DOLLARS           SINCE FILE      TOTAL
                                ENTRY          SESSION
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FILE 'CAPLUS' ENTERED AT 14:56:27 ON 21 APR 2006
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FILE COVERS 1907 - 21 Apr 2006 VOL 144 ISS 18
FILE LAST UPDATED: 20 Apr 2006 (20060420/ED)

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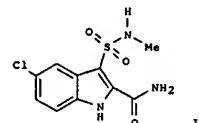
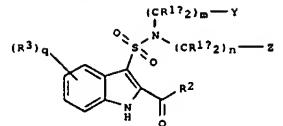
Current application

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2004:142899 CAPLUS
 DOCUMENT NUMBER: 140:181323
 TITLE: Preparation of indolesulfonamides as tyrosine kinase inhibitors, in particular insulin-like growth factor receptor (IGF-1R) inhibitors
 INVENTOR(S): Dinsmore, Christopher J.; Beshore, Douglas C.; Bergman, Jeffrey M.; Lindsley, Craig W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 191 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014300	A2	20040219	WO 2003-US24393	20030805
WO 2004014300	A3	20040422		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA 2493575	AA	20040219	CA 2003-2493575	20030805
EP 1534268	A2	20050601	EP 2003-784904	20030805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006504668	T2	20060209	JP 2004-527739	20030805
PRIORITY APPLN. INFO.:			US 2002-402482P	P 20020809
			WO 2003-US24393	W 20030805

OTHER SOURCE(S): CASREACT 140:181323; MARPAT 140:181323
 GI

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [wherein R1s, Rib = independently H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl, heterocycl; R2 = H, OH and derivs., NH2 and derivs., (un)substituted cyclo/alkyl, aryl; R3 = H, halo, (CH2)pOH and derivs., CO2H and derivs., CH:CH2 and derivs., NO2, (CH2)pNH2 and derivs., NHCCHO and derivs., NHS(O)oR4, S(O)oR4, S(O)ONH2 and

and derivs., CN, (CH2)pNH(CH2)pH and derivs., etc.; R4 = (un)substituted cyclo/alkyl, aryl, heterocycl; m = 0-6; n = 0-6; q = 0-4; p = 0-6; o = 0-2; and their pharmaceutically acceptable salts, hydrates and stereoisomers] were prepared for inhibiting, modulating and/or regulating signal transduction of both receptor-type and non-receptor type tyrosine kinases. For example, I was prepared in 5 steps via substitution of benzenesulfonyl chloride with Et 5-chloro-1H-indole-2-carboxylate, sulfonation with concentrated H2SO4 in DCM, chlorination with oxalyl chloride in the presence of DCM/DMF, substitution with methylamine hydrochloride in the presence of TEA/DCM, and one-pot amidation with NH3/phenylsulfonyl group deprotection in i-ProOH. I inhibited insulin-like growth factor 1 receptor (IGF-1R) or insulin receptor kinase with an IC50 ≤ 100 μM. Thus, I and their formulations are useful for treating cancer, diabetes, an autoimmune disorder, a hyperproliferative disorder, aging, acromegaly, and Crohn's disease.

IT 660412-51-1P, 5-Bromo-3-[N-(methyl)-N-[(5-oxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methyl]amino]sulfonyl]-1H-indole-2-carboxamide 660412-56-6P, 3-[(Dimethylamino)sulfonyl]-5-methoxy-1H-indole-2-carboxamide 660412-67-9P, 5-Chloro-3-[(ethyl(methyl)amino)sulfonyl]-1H-indole-2-carboxamide 660412-68-0P, 5-Chloro-3-[(diethylamino)sulfonyl]-5-methoxy-1H-indole-2-carboxamide 660412-97-5P, 5-Chloro-3-[(dimethylamino)sulfonyl]-1H-indole-2-carboxamide 660413-01-4P, 5-Chloro-3-[(2-methoxyethyl)(methyl)amino]sulfonyl]-1H-indole-2-carboxamide 660413-03-6P 660413-05-8P 660413-08-1P, 5-Bromo-3-[(methyl[2-(1H-1,2,4-triazol-1-yl)ethyl]amino)sulfonyl]-1H-

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 indole-2-carboxamide 660413-10-5P, S-Bromo-3-[(1,4-dioxan-2-ylmethyl)(methyl)amino]sulfonyl]-1H-indole-2-carboxamide 660413-12-7P, 5-Chloro-3-[(isopropyl(2-methoxyethyl)amino)sulfonyl]-1H-indole-2-carboxamide 660413-13-8P

3-[(2-Bromoethyl)(2-hydroxyethyl)amino]sulfonyl]-5-hydroxy-1H-indole-2-carboxamide 660413-16-1P, 3-[(2-Bromoethyl)(2-hydroxyethyl)amino]sulfonyl]-1H-indole-2-carboxamide 660413-18-3P, 5-Chloro-3-[(2,3-dihydroxypropyl)(methyl)amino]sulfonyl]-1H-indole-2-carboxamide 660413-19-4P, 5-Chloro-3-[(2-hydroxyethyl)(methyl)amino]sulfonyl]-1H-indole-2-carboxamide 660413-20-7P, N-[(2-Aminocarbonyl)-5-chloro-1H-indol-3-yl]sulfonyl)-N-methylglycine 660413-21-8P, N-[(2-Aminocarbonyl)-5-chloro-1H-indol-3-yl]sulfonyl)-N-methylglycynamide 660413-38-7P

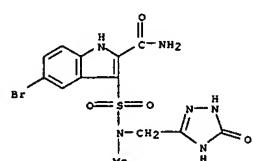
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (IGF-1R inhibitor; prepn. of indolesulfonamides as tyrosine kinase inhibitors)

RN 660412-51-1 CAPLUS

CN 1H-Indole-2-carboxamide,

5-bromo-3-[(2,5-dihydro-5-oxo-1H-1,2,4-triazol-3-yl)methyl]methylamino]sulfonyl]- (9CI) (CA INDEX NAME)

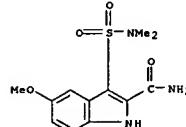


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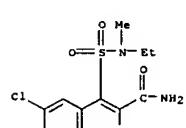
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(CA INDEX NAME)

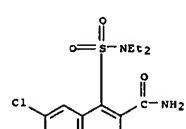
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



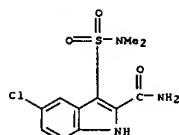
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 CN 1H-Indole-2-carboxamide, 5-chloro-3-[(ethylmethylamino)sulfonyl]- (9CI) (CA INDEX NAME)



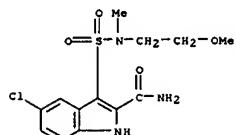
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 CN 1H-Indole-2-carboxamide, 5-chloro-3-[(diethylamino)sulfonyl]- (9CI) (CA INDEX NAME)



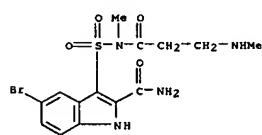
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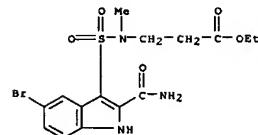
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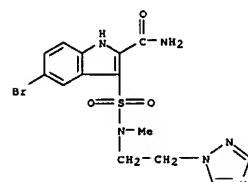
RN 660413-03-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-bromo-3-[(methyl[3-(methylamino)-1-oxopropyl]amino)sulfonyl]- (9CI) (CA INDEX NAME)



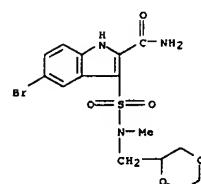
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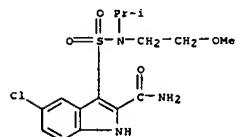
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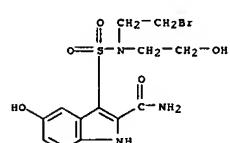
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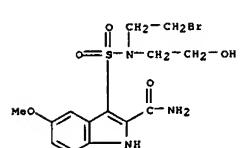
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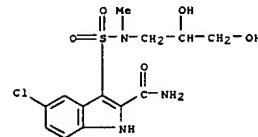
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CN 1H-Indole-2-carboxamide, 3-[(2-bromoethyl)(2-hydroxyethyl)amino]sulfonyl]-5-hydroxy- (9CI) (CA INDEX NAME)



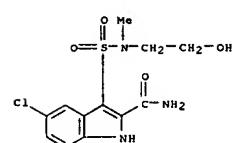
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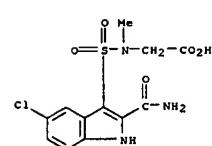
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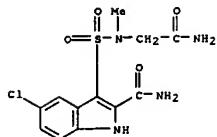
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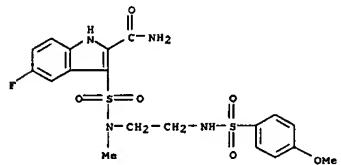
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CN Glycine, N-[(2-aminocarbonyl)-5-chloro-1H-indol-3-yl]sulfonyl]-N-methyl- (9CI) (CA INDEX NAME)



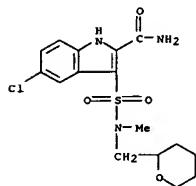
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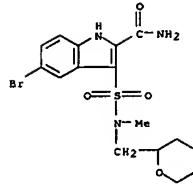
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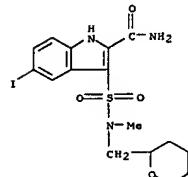
RN 660414-04-0 CAPLUS
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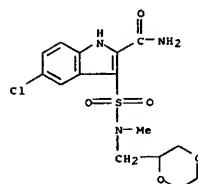
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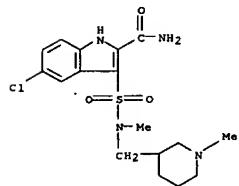
RN 660414-06-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-iodo-3-[[methyl(tetrahydro-2H-pyran-2-yl)methyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 660414-11-9 CAPLUS
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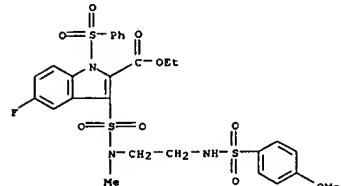


RN 660414-19-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-3-[[methyl(piperidinyl)methyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



IT 660413-42-3P, Ethyl 5-fluoro-3-[[2-[(4-methoxyphenyl)sulfonyl]amino]ethyl]methylethylsulfone-1-phenylsulfonyl]-1H-indole-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (intermediate; preparation of indolesulfonamides as tyrosine kinase inhibitors)
RN 660413-42-3 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-fluoro-3-[[2-[(4-methoxyphenyl)sulfonyl]amino]ethyl]methylethylsulfone-1-phenylsulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

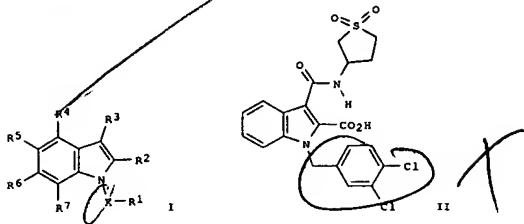


ACCESSION NUMBER: 2000:553556 CAPLUS
DOCUMENT NUMBER: 133:150463
TITLE: Preparation of 3-substituted indole-2-carboxylic acids

INVENTOR(S): Faulk, Alan Wellington; Kettle, Jason
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXDZ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046199	A2	20000810	WO 2000-GB284	20000131
WO 2000046199	A3	20001130		
W: AE, AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355734	AA	20000810	CA 2000-2355734	20000131
BR 2000008015	A	20011106	BR 2000-8015	20000131
EP 1173421	A2	20020123	EP 2000-901747	20000131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002536362	T2	20021029	JP 2000-597270	20000131
ZA 2001005017	A	20020919	ZA 2001-5017	20010619
NO 2001003768	A	20011001	NO 2001-3768	20010801
US 6833387	B1	20041221	US 2001-889516	20011002
PRIORITY APPLN. INFO.: GB 1999-2455				
			WO 2000-GB284	W 20000131

OTHER SOURCE(S): MARPAT 133:150463
GI



AB The title compds. [I; X = CH₂, SO₂; R₁ = (un)substituted aryl, heteraryl;
R₂ = CO₂H, CN, COCH₂OH, etc.; R₃ = OR₁₅ (wherein R₁₅ = substituted alkyl or cycloalkyl, (un)substituted heteroaryl, S(O)qR₁₅ (q = 0-2), (CH₂)_nCO₂H
(n = 0-4), etc.; R₄-R₇ = H, (un)substituted hydrocarbyl, heterocyclil, etc.] and their pharmaceutically acceptable salts, amides or esters, useful in the preparation of a medicament for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis, were prepared and formulated. Thus, hydrolysis of the corresponding ester afforded 93% II which showed IC₅₀ of 6.86 μM against hMCP-1 receptor binding.

IT 287725-37-5 287725-41-1 287725-47-7

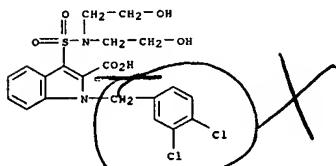
IT 287725-49-9

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 3-substituted indole-2-carboxylic acids for the inhibition of monocyte chemoattractant protein-1 and/or RANTES induced chemotaxis)

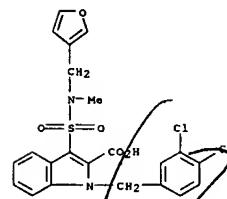
RN 287725-37-5 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[{(bis(2-hydroxyethyl)amino)sulfonyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



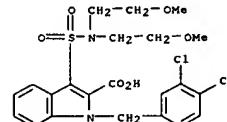
RN 287725-41-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[(3-



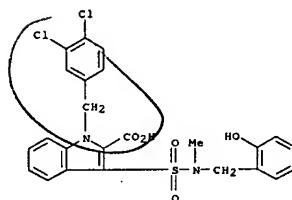
RN 287725-47-7 CAPLUS

CN 1H-Indole-2-carboxylic acid, 3-[(bis(2-methoxyethyl)amino)sulfonyl]-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 287725-49-9 CAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(3,4-dichlorophenyl)methyl]-3-[(2-hydroxyphenyl)methylsulfonyl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1964:23245 CAPLUS

DOCUMENT NUMBER: 60:23245

ORIGINAL REFERENCE NO.: 60:4088h, 4089a-c

TITLE: Reaction of indole derivatives with thionyl and sulfonyl chlorides

AUTHOR(S): Smuszko, Jacob

CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI

SOURCE: JOURNAL OF ORGANIC CHEMISTRY (1964), 29(1), 178-84

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 60:23245

GI: For diagram(s), see printed CA issue.

AB Reaction of 1-methylindole-2-carboxylic acid, the corresponding methyl ester (I), and of Et indole-2-carboxylate with thionyl chloride afforded sulfinyl chlorides (II, III, and IV, resp.). Thionyl chloride and N,N-dimethylindole-2-carboxamide led to sulfide (V, R = CONHMe) and imide oxofide (VI). III was converted to several sulfonamides (VII) on treatment with amines. VII were oxidized with permanganate to sulfonamides (VIII). Treatment of III with hydrazine in the cold gave disulfide (IX, R = CO₂Me) (X), which was transformed to IX (R = CONHNH₂) on heating with hydrazine. Monosulfide (V, R = CO₂Me), disulfide X, and trisulfide XI were obtained from the reaction of I with sulfur monochloride. Reaction of 1-methylindole-2-carboxylic acid hydrazide

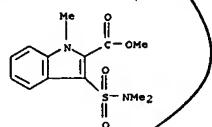
with sulfonyl chloride led to the dichloro compound (XII), and I with sulfonyl chloride afforded the tetrachloro compound (XIII) and the hexachloro compound

(XIV).

IT 92109-30-3, Indole-2-carboxylic acid, 3-(dimethylsulfamoyl)-1-methyl-, methyl ester (preparation of)

IT 92109-30-3 CAPLUS

CN Indole-2-carboxylic acid, 3-(dimethylsulfamoyl)-1-methyl-, methyl ester (7CI) (CA INDEX NAME)



=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION

FULL ESTIMATED COST

15.79	182.94
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE	TOTAL
	ENTRY	SESSION

CA SUBSCRIBER PRICE

-2.25	-2.25
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STN INTERNATIONAL LOGOFF AT 14:56:54 ON 21 APR 2006